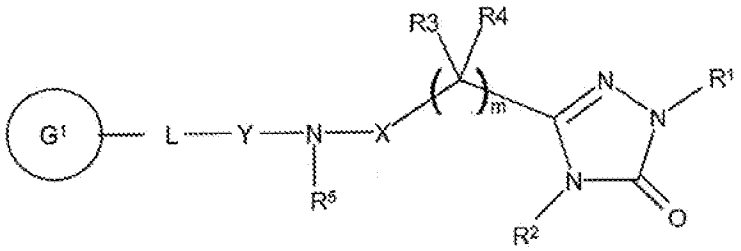
Amendments to the Claims:

This listing of claims replaces all prior versions and listings of claims in the application:

Listing of Claims:

1. (Currently Amended) A compound of formula (I) or a pharmaceutically acceptable salt thereof



(I)

wherein

R^1 and R^2 independently represent H or C1 to 6 alkyl; said alkyl being optionally further substituted by an aryl ring or an aromatic heterocyclic ring containing 1 to 3 heteroatoms independently selected from O, S and N; said aromatic ring being optionally further substituted by halogen, CF_3, C1 to 4 alkyl or C1 to 4 alkoxy;

Author Search

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=> FILE HCAPLUS
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FILE COVERS 1907 - 2 Oct 2009  VOL 151 ISS 15
FILE LAST UPDATED: 1 Oct 2009  (20091001/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Aug 2009

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2009.

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The ALL, BIB, MAX, and STD display formats in the CA/CAplus family
of databases have been updated to include new citing references
information.  This enhancement may impact record import into
database management software.  For additional information, refer
to NEWS 9.
'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

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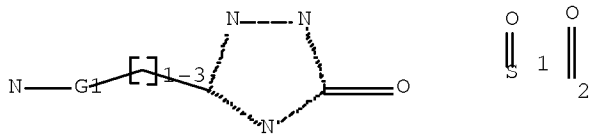


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FILE LAST UPDATED:          28 SEP 2009   <20090928/UP>
MOST RECENT UPDATE:           200962      <200962/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE
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>>> IPC, ECLA, US National Classifications and Japanese F-Terms
    and FI-Terms have been updated with reclassifications to
    mid-June 2009.
    No update date (UP) has been created for the reclassified
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    specific update codes (see HELP CLA for details)<<<

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>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<
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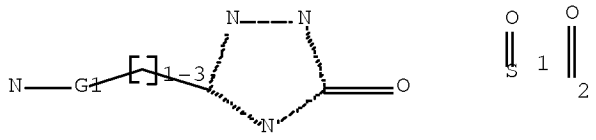

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PROCESSING COMPLETED FOR L11
PROCESSING COMPLETED FOR L15
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L33  ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2009 ACS on STN DUPLICATE 1
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DOCUMENT NUMBER:          143:387043
TITLE:                    Preparation of triazolone derivatives as MMP
                          inhibitors for the treatment of asthma
INVENTOR(S):              Eriksson, Anders; Lepistoe, Matti
PATENT ASSIGNEE(S):       Astrazeneca AB, Swed.
SOURCE:                   PCT Int. Appl., 53 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
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         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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     AT 423105             T     20090315    AT 2005-722275          20050329
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     US 20070219217        A1    20070920    US 2006-593543          20060920
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PRIORITY APPLN. INFO.:                       SE 2004-850          A  20040330
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ED   Entered STN:  14 Oct 2005
GI
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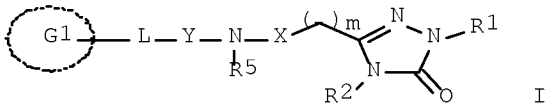

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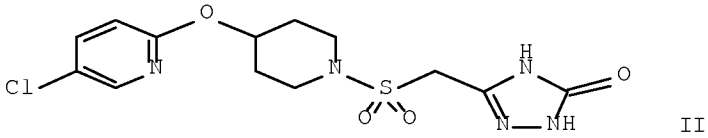


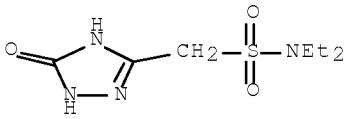
II

AB Title compds. represented by the formula I [wherein R1, R2 = independently H, Cl or (un)substituted alkyl; R3, R4 = independently H, Cl, (un)substituted alkyl or R3R4 = (hetero)cyclyl; m = 1-3; X = SO, SO2 or CO; R5 = H, Cl or (un)substituted alkyl; Y = a direct bond or NR5Y = azacyclic ring; L = a direct bond, O, amino, etc.; G1 = (un)substituted cyclic ring; and pharmaceutically acceptable salts or solvates thereof] were prepared as metalloproteinase (MMP) inhibitors. For example, II was provided in a multi-step synthesis starting from the reaction of 5-(chloromethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one with benzyl mercaptan. I were tested for inhibition of human MMP12, MMP9, MMP2, MMP19, MMP14 and MMP8. I and their pharmaceutical compns. are useful as MMP inhibitors for the treatment of asthma or other MMP-12 and/or MMP-9 mediated diseases (no data).

IT 866602-62-2P, N,N-Diethyl-1-(5-oxo-4,5-dihydro-1H-1,2,4-triazol-3-yl)methanesulfonamide
RL: BYP (Byproduct); PREP (Preparation)
(preparation of triazolone derivs. as MMP inhibitors for treatment of asthma)

RN 866602-62-2 HCAPLUS

CN 1H-1,2,4-Triazole-3-methanesulfonamide, N,N-diethyl-2,5-dihydro-5-oxo- (CA INDEX NAME)



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866602-63-3P, 5-[2-[[4-[(5-Chloropyridin-2-yl)oxy]piperidin-1-yl]sulfonyl]ethyl]-2,4-dihydro-3H-1,2,4-triazol-3-one
866602-67-7P, 5-[3-[[4-[(5-Chloropyridin-2-yl)oxy]piperidin-1-yl]sulfonyl]propyl]-2,4-dihydro-3H-1,2,4-triazol-3-one
866602-71-3P, 5-[[[4-(4-Chlorophenyl)piperazin-1-yl]sulfonyl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one
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866602-75-7P, 5-[[[4-(4-Chlorophenyl)piperidin-1-yl]sulfonyl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one
866602-76-8P, N-Benzyl-1-(5-oxo-4,5-dihydro-1H-1,2,4-triazol-3-yl)methanesulfonamide 866602-77-9P, 1-(5-Oxo-4,5-dihydro-1H-1,2,4-triazol-3-yl)-N-(2-phenylethyl)methanesulfonamide 866602-78-0P, 5-[2-[[4-(4-Chlorophenyl)piperidin-1-yl]sulfonyl]ethyl]-2,4-dihydro-3H-1,2,4-triazol-3-one 866602-79-1P, 5-[2-[[4-(4-Chlorophenyl)piperazin-1-yl]sulfonyl]ethyl]-2,4-dihydro-3H-1,2,4-triazol-3-one 866602-80-4P, 5-[3-[[4-(4-Chlorophenyl)piperidin-1-yl]sulfonyl]propyl]-2,4-dihydro-3H-1,2,4-triazol-3-one 866602-81-5P, 5-[3-[[4-(4-Chlorophenyl)piperazin-1-yl]sulfonyl]propyl]-2,4-dihydro-3H-1,2,4-triazol-3-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazolone derivs. as MMP inhibitors for treatment of asthma)

RN 866602-59-7 HCAPLUS
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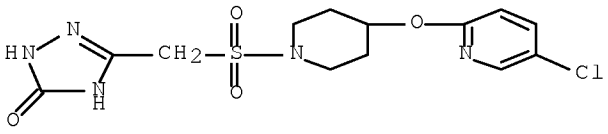

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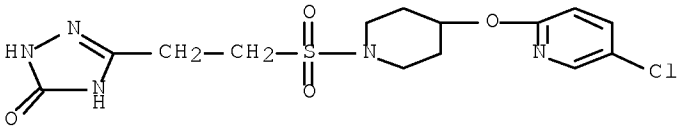

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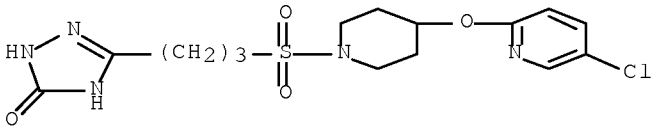

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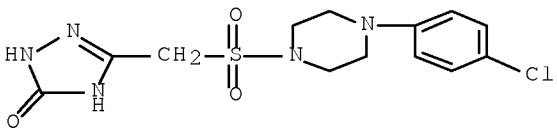

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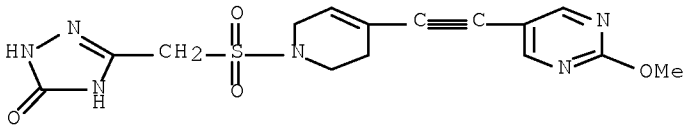

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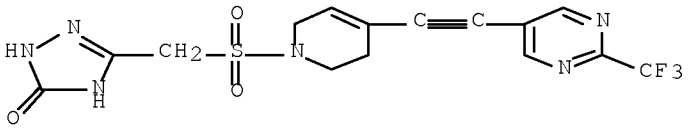

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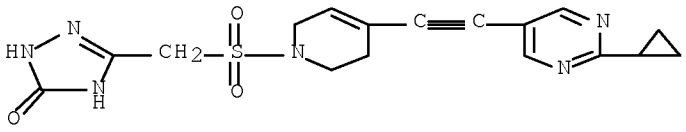

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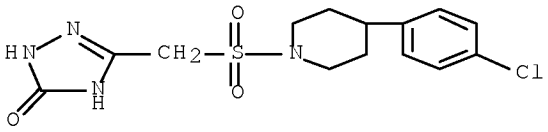

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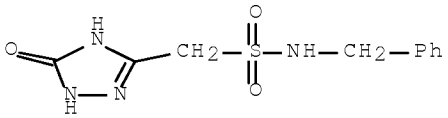

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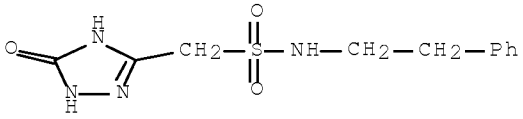

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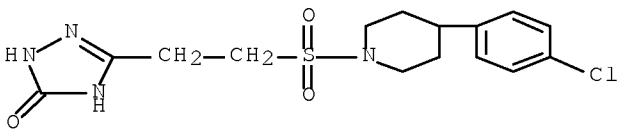

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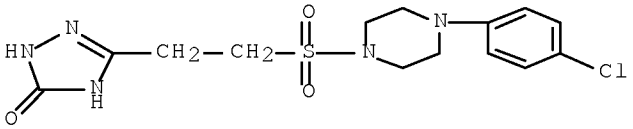

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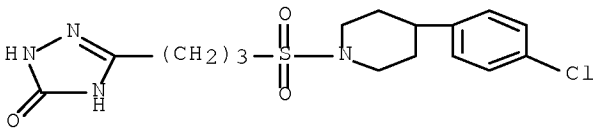

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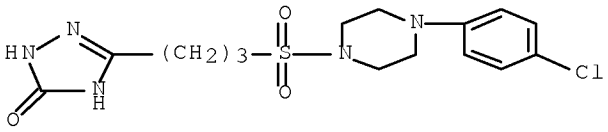

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE COVERS 1907 - 2 Oct 2009  VOL 151 ISS 15
FILE LAST UPDATED: 1 Oct 2009  (20091001/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Aug 2009

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2009.

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This file contains CAS Registry Numbers for easy and accurate
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The ALL, BIB, MAX, and STD display formats in the CA/CAplus family
of databases have been updated to include new citing references
information.  This enhancement may impact record import into
database management software.  For additional information, refer
to NEWS 9.
'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

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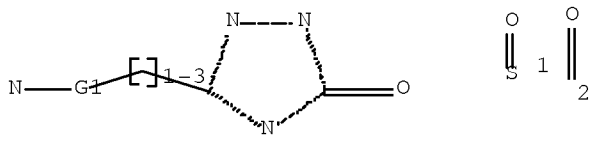


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Structure attributes must be viewed using STN Express query preparation.
L6           39 SEA FILE=REGISTRY SSS FUL L1
L8           15 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L6
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=> S L8 NOT L11
L34         14 L8 NOT L11

=> FILE WPIX
FILE 'WPIX' ENTERED AT 15:06:20 ON 02 OCT 2009
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FILE LAST UPDATED:          28 SEP 2009   <20090928/UP>
MOST RECENT UPDATE:           200962      <200962/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE
>>> Now containing more than 1.4 million chemical structures in DCR <<<

>>> IPC, ECLA, US National Classifications and Japanese F-Terms
    and FI-Terms have been updated with reclassifications to
    mid-June 2009.
    No update date (UP) has been created for the reclassified
    documents, but they can be identified by
    specific update codes (see HELP CLA for details)<<<

FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE,
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FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE
http://scientific.thomsonreuters.com/support/patents/coverage/latestupdates/

EXPLORE DERWENT WORLD PATENTS INDEX IN STN ANAVIST, VERSION 2.0:
http://www.stn-international.com/DWPIAnaVist2_0608.html

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<
'BI,ABEX' IS DEFAULT SEARCH FIELD FOR 'WPIX' FILE

=> D STAT QUE L14
L1              STR
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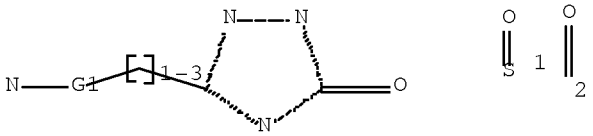

G1 [@1],[@2]

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Structure attributes must be viewed using STN Express query preparation.
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L14           1 SEA FILE=WPIX SPE=ON  ABB=ON  PLU=ON  L13/DCR


=> S L14 NOT L15
L35          0 L14 NOT L15

=> FILE BEILSTEIN
FILE 'BEILSTEIN' ENTERED AT 15:06:49 ON 02 OCT 2009
COPYRIGHT (c) 2009 Elsevier Information Systems GmbH
```

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FILE LAST UPDATED ON May 17, 2009

FILE COVERS 1779 TO 2008.
*** FILE CONTAINS 10,593,281  SUBSTANCES ***

>>>PLEASE NOTE: Reaction Data and substance data are stored in
   separate documents and can not be searched together in one query.
   Reaction data for BEILSTEIN compounds may be displayed
   immediately with the display codes PRE (preparations) and REA
   (reactions).  A substance answer set retrieved after the search
   for a chemical name, a compounds with available reaction
   information by combining with PRE/FA, REA/FA or more generally
   with RX/FA. The BEILSTEIN Registry Number (BRN) is the link
   between a BEILSTEIN compound and belonging reactions. For mo
   detailed reaction searches BRNs can be searched as reaction
   partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE  <<<

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* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.                         *
* FOR PRICE INFORMATION SEE HELP COST                                 *
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>>> Price change as of January 1st, 2008: Connect Time and Structure
    Search fees re-introduced. See NEWS and HELP COST <<<

=> D STAT QUE L17
L1              STR
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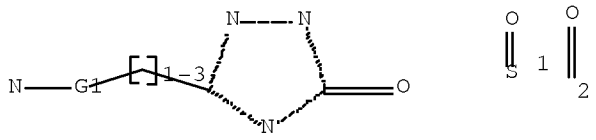


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Structure attributes must be viewed using STN Express query preparation.
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L17           1 SEA FILE=BEILSTEIN SPE=ON  ABB=ON  PLU=ON  L6


=> FILE BABS
FILE 'BABS' ENTERED AT 15:06:59 ON 02 OCT 2009
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FILE LAST UPDATED: 11 MAY 2009       <20090511/UP>
FILE COVERS 1980 TO DATE.

=> D STAT QUE L19
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=> DUP REM L34 L14 L19 L17
DUPLICATE IS NOT AVAILABLE IN 'BEILSTEIN'.
ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
FILE 'HCAPLUS' ENTERED AT 15:07:19 ON 02 OCT 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE 'WPIX' ENTERED AT 15:07:19 ON 02 OCT 2009
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FILE 'BABS' ENTERED AT 15:07:19 ON 02 OCT 2009
COPYRIGHT (c) 2009 Elsevier Information Systems GmbH

FILE 'BEILSTEIN' ENTERED AT 15:07:19 ON 02 OCT 2009
COPYRIGHT (c) 2009 Elsevier Information Systems GmbH
PROCESSING COMPLETED FOR L34
PROCESSING COMPLETED FOR L14
PROCESSING COMPLETED FOR L19
PROCESSING COMPLETED FOR L17
L36          17 DUP REM L34 L14 L19 L17 (0 DUPLICATES REMOVED)
                ANSWERS '1-14' FROM FILE HCAPLUS
                ANSWER '15' FROM FILE WPIX
                ANSWER '16' FROM FILE BABS
                ANSWER '17' FROM FILE BEILSTEIN

=> D IBIB ABS ED HITSTR 1-14; D IBIB AB QHIT 15; D ALL 16; D IDE ALLREF 17

L36  ANSWER 1 OF 17  HCAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2009:703033  HCAPLUS  Full-text
DOCUMENT NUMBER:         151:56726
TITLE:                   Nitrogen-containing heterocyclic compounds as
                         tachykinin receptor antagonists and their preparation
                         and use in the treatment of diseases
INVENTOR(S):             Ikeura, Yoshinori; Shirai, Junya; Sugiyama, Hideyuki;
                         Nishikimi, Yuji; Kamei, Taku; Sakauchi, Nobuki
PATENT ASSIGNEE(S):      Takeda Pharmaceutical Company Limited, Japan
SOURCE:                  PCT Int. Appl., 471pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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     WO 2009072643         A1    20090611    WO 2008-JP72224         20081202
         W:  AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
             CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,
             FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
             KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
             ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
             PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ,
             TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
             IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
             TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
     US 20090156572        A1    20090618    US 2008-314015          20081202
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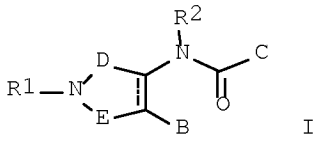
PRIORITY APPLN. INFO.: US 2007-996734P P 20071203
OTHER SOURCE(S): MARPAT 151:56726
GI



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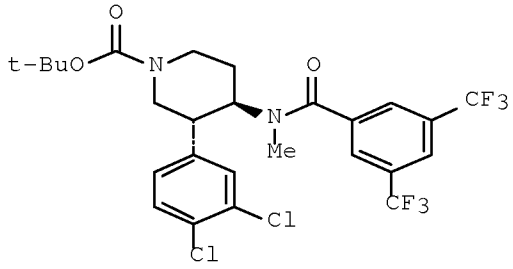
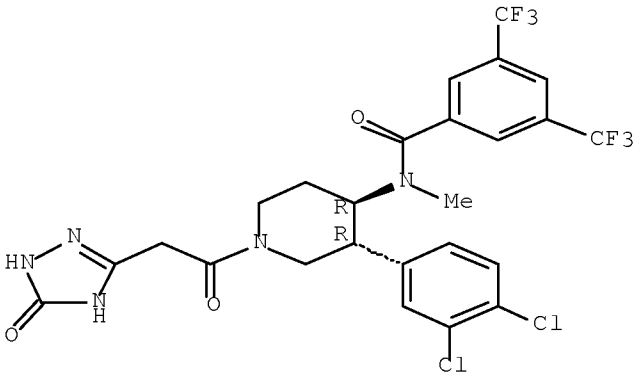


II

AB The invention relates to a compound represented by formula I, which has a superior tachykinin receptor antagonistic action, and is useful as an agent for the prophylaxis or treatment of various diseases such as lower urinary tract diseases, gastrointestinal diseases, central nervous system diseases and the like. Compds. of formula I wherein B is (un)substituted aromatic ring; C is (un)substituted cyclic group; D is (CH2)n; and E is (CH2)m; m and n are independently 0 to 5, and m + n is an integer of 2 to 5; dashed bond is single or double bond; and salts thereof, are claimed. Example compound II was prepared by methylation of tert-Bu (3R*,4R*)-4-([[3,5-bis(trifluoromethyl)phenyl]carbonyl]amino)-3-(3,4- dichlorophenyl)piperidine-1-carboxylate with Me iodide. All the invention compds. were evaluated for their tachykinin receptor antagonistic activity (some data given).
ED Entered STN: 11 Jun 2009
IT 1160255-89-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrogen-containing heterocyclic compds. as tachykinin receptor
antagonists useful in the treatment of diseases)
RN 1160255-89-9 HCAPLUS
CN Benzamide, N-[(3R,4R)-3-(3,4-dichlorophenyl)-1-[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-4-piperidinyl]-N-methyl-3,5-bis(trifluoromethyl)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

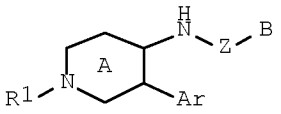
L36 ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:874350 HCAPLUS Full-text
DOCUMENT NUMBER: 147:257652
TITLE: Preparation of piperidine derivatives as tachykinin receptor antagonists
INVENTOR(S): Shirai, Junya; Yoshikawa, Takeshi; Sugiyama, Hideyuki
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 133pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007089031	A1	20070809	WO 2007-JP52160	20070201

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-763894P P 20060201
OTHER SOURCE(S): CASREACT 147:257652; MARPAT 147:257652
GI



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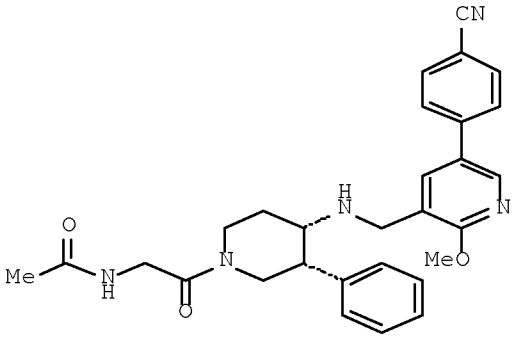


II

AB Title compds. I [Ar = (un)substituted phenyl; R1 = H, (un)substituted hydrocarbyl, acyl or heterocyclyl; Z = (un)substituted methylene; ring A = (un)substituted piperidine; B = (un)substituted monocyclic aromatic heterocyclyl with provisions that substituents may form a ring], and their pharmaceutically acceptable salts, prodrugs are prepared and disclosed as tachykinin receptor antagonists and useful as an agent for the prophylaxis or treatment of lower urinary tract disease and the like. Thus, e.g., II was prepared by condensation of N-[2-((3R,4S)-4-amino-3-phenylpiperidin-1- yl)-2-oxoethyl]acetamide methanesulfonate (preparation given) with 4-(5-formyl-6-methoxypyridin-3-yl)benzonitrile (preparation given) followed by reduction I have superior antagonistic activity, e.g., II showed IC50 value of 0.015 nM.

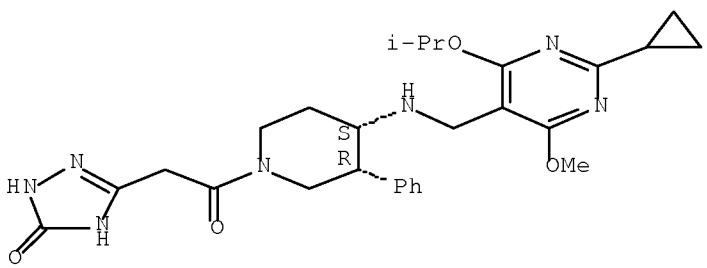
ED Entered STN: 10 Aug 2007

IT 945954-65-4P 945954-79-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperidine derivs. as tachykinin receptor antagonists)

RN 945954-65-4 HCAPLUS

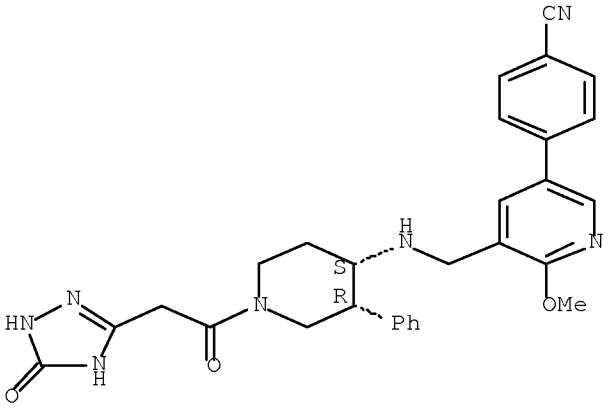
CN 3H-1,2,4-Triazol-3-one, 5-[2-[(3R,4S)-4-[[[2-cyclopropyl-4-methoxy-6-(1-methylethoxy)-5-pyrimidinyl]methyl]amino]-3-phenyl-1-piperidinyl]-2-oxoethyl]-1,2-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



RN 945954-79-0 HCAPLUS
CN Benzonitrile, 4-[5-[[[(3R,4S)-1-[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-3-phenyl-4-piperidinyl]amino]methyl]-6-methoxy-3-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 3 OF 17 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:485967 HCAPLUS Full-text
DOCUMENT NUMBER: 146:482087
TITLE: Preparation of heterocyclic amide compounds as matrix metalloproteinase inhibitors
INVENTOR(S): Nara, Hiroshi; Kaieda, Akira; Sato, Kenjiro; Terauchi, Jun
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 330pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007049820	A1	20070503	WO 2006-JP322043	20061027

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2006306991	A1	20070503	AU 2006-306991		20061027
CA 2627497	A1	20070503	CA 2006-2627497		20061027
EP 1953148	A1	20080806	EP 2006-822961		20061027
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS					
MX 2008005416	A	20080512	MX 2008-5416		20080425
US 20090137603	A1	20090528	US 2008-91773		20080428
IN 2008KN01865	A	20090109	IN 2008-KN1865		20080508
KR 2008066061	A	20080715	KR 2008-712886		20080528
NO 2008002411	A	20080728	NO 2008-2411		20080528
CN 101351453	A	20090121	CN 2006-80049861		20080630
PRIORITY APPLN. INFO.:			JP 2005-315267	A	20051028
			WO 2006-JP322043	W	20061027

OTHER SOURCE(S): MARPAT 146:482087

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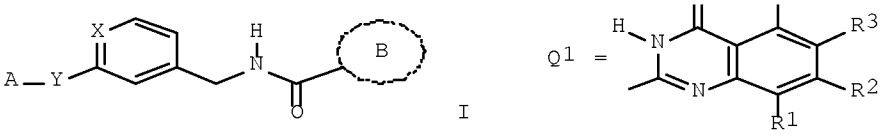


I

AB The title compds. I [A = zinc-bonding group; X = CZ, N; Z = H, halo; Y = (un)substituted spacer having 2 to 10 atoms; ring B = Q1, etc.; R1 - R4 = H, halo, cyano, etc.; excluding 6 specific compds.] are prepared Thus, 4-oxo-N-[3-([2-((1H-1,2,4-triazol-3-ylthio)ethyl]oxy)phenyl)methyl]-3,4-dihydroquinazoline-2-carboxamide was prepared in several steps starting from 3-hydroxybenzonitrile and 1-bromo-2-chloroethane. In an in vitro assay, compds. of this invention at 1 μM gave 81% to 100% inhibition of matrix metalloproteinase 13. Formulations are given.

ED Entered STN: 04 May 2007

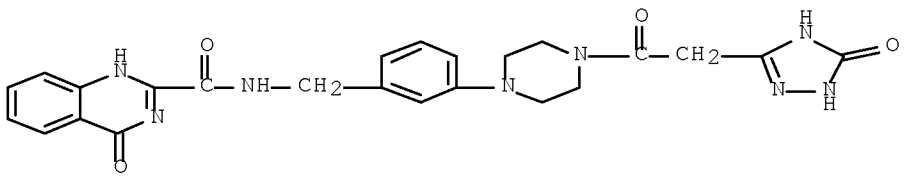
IT 935759-87-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic amide compds. as matrix metalloproteinase inhibitors)

RN 935759-87-8 HCAPLUS

CN 2-Quinazolinecarboxamide, N-[[3-[4-[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-1-piperazinyl]phenyl]methyl]-3,4-dihydro-4-oxo- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:150254 HCAPLUS Full-text
DOCUMENT NUMBER: 146:206214
TITLE: Preparation of biphenylmethylaminopiperidines as tachykinin receptor antagonists.
INVENTOR(S): Ikeura, Yoshinori; Shirai, Junya; Yoshikawa, Takeshi; Sakauchi, Nobuki
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 174pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007015588	A1	20070208	WO 2006-JP315899	20060804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1910292	A1	20080416	EP 2006-782685	20060804
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2009502739	T	20090129	JP 2008-505537	20060804
US 20070149570	A1	20070628	US 2007-701380	20070202
PRIORITY APPLN. INFO.:			JP 2005-227183 A	20050804
			WO 2006-JP315899 W	20060804

OTHER SOURCE(S): CASREACT 146:206214; MARPAT 146:206214
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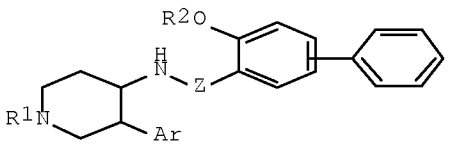


I

AB Title compds. [I; Ar = (substituted) Ph; R1 = H, (substituted) hydrocarbyl, acyl, heterocyclyl; R2 = H, (substituted) alkyl, cycloalkyl; Z = (alkyl-

substituted) methylene; all rings may be further substituted; with 2 specifically excluded compds.], were prepared Thus, N-[2-[(3R,4S)-4-[[(4'-ethynyl-4-methoxybiphenyl-3-yl)methyl]amino]-3- phenylpiperidin-1-yl]-2-oxoethyl]acetamide (general preparation given) showed radioligand receptor binding inhibitory activity in IM-9 human lymphoblast cells with IC50 = 0.015 nM.

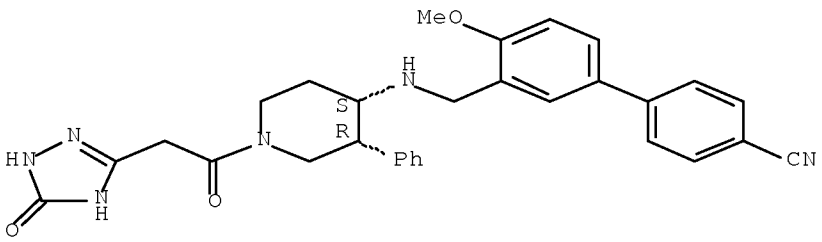
ED Entered STN: 09 Feb 2007

IT 923280-44-8P 923280-84-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biphenylmethylaminopiperidines as tachykinin receptor antagonists)

RN 923280-44-8 HCAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 3'-[[[(3R,4S)-1-[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-3-phenyl-4-piperidinyl]amino]methyl]-4'-methoxy- (CA INDEX NAME)

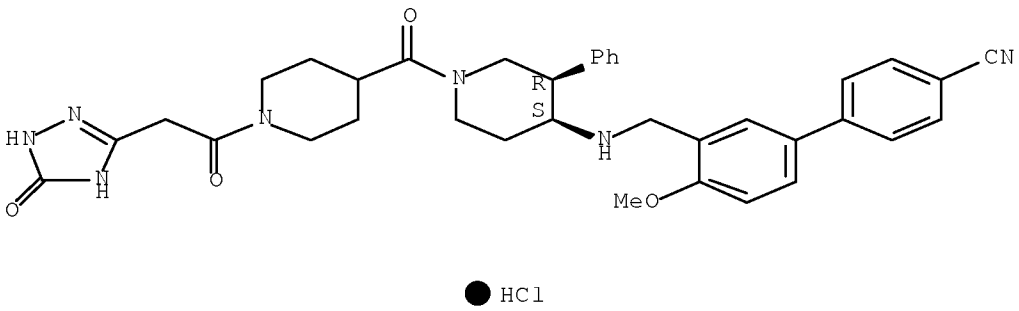
Absolute stereochemistry.



RN 923280-84-6 HCAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 3'-[[[(3R,4S)-1-[[1-[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-4-piperidinyl]carbonyl]-3-phenyl-4-piperidinyl]amino]methyl]-4'-methoxy-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 5 OF 17 HCAPLUS COPYRIGHT 2009 ACS on STN

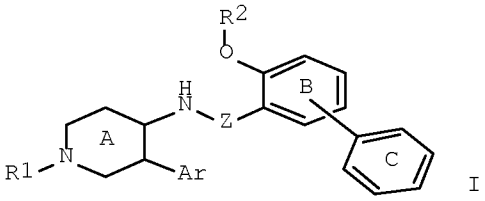
ACCESSION NUMBER: 2007:705062 HCAPLUS Full-text

DOCUMENT NUMBER: 147:118148
TITLE: Piperidine derivatives as tachykinin receptor antagonists and their preparation, pharmaceutical compositions and use in the treatment of lower urinary tract symptoms, gastrointestinal and central nerve disease
INVENTOR(S): Ikeura, Yoshinori; Shirai, Junya; Yoshikawa, Takeshi; Sakauchi, Nobuki
PATENT ASSIGNEE(S): Takeda Pharmaceutical Co., Ltd., Japan
SOURCE: U.S. Pat. Appl. Publ., 89 pp., Cont.-in-part of Appl. No. PCT/JP2006/315899.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

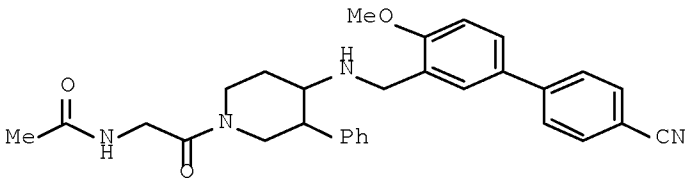
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070149570	A1	20070628	US 2007-701380	20070202
WO 2007015588	A1	20070208	WO 2006-JP315899	20060804

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2005-227183 A 20050804
WO 2006-JP315899 A2 20060804
OTHER SOURCE(S): MARPAT 147:118148
GI



I



II

AB The invention relates to a compound represented by formula I or a salt thereof. Compds. of formula I wherein Ar is (un)substituted Ph; R1 is H, (un)substituted hydrocarbon, acyl and (un)substituted heterocyclic group; R2 is H, (un)substituted C1-6 alkyl and (un)substituted C3-6 cycloalkyl; Z is (un)substituted methylene; ring A is a (un)substituted piperidine ring; ring B and ring C are (un)substituted benzene; R2 optionally form a ring together with the adjacent substituent on the ring B; and their salts thereof, are claimed. The compound of the invention has a superior tachykinin receptor antagonistic action, particularly a substance P receptor antagonistic action, and is useful as a pharmaceutical agent, for example, tachykinin receptor antagonist, an agent for the prophylaxis or treatment of lower urinary tract symptoms, gastrointestinal diseases or central nerve diseases. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their tachykinin receptor antagonistic activity. From the assay, it was determined that compound II exhibited an IC50 value of 0.019 nM.

ED Entered STN: 29 Jun 2007

IT 923280-44-8P 923280-84-6P

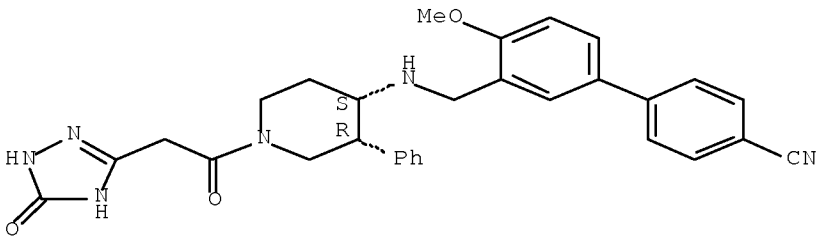
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperidine derivs. as tachykinin receptor antagonists and their use in the treatment of lower urinary tract symptoms, gastrointestinal and central nerve disease)

RN 923280-44-8 HCAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 3'-[[[(3R,4S)-1-[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-3-phenyl-4-piperidinyl]amino]methyl]-4'-methoxy- (CA INDEX NAME)

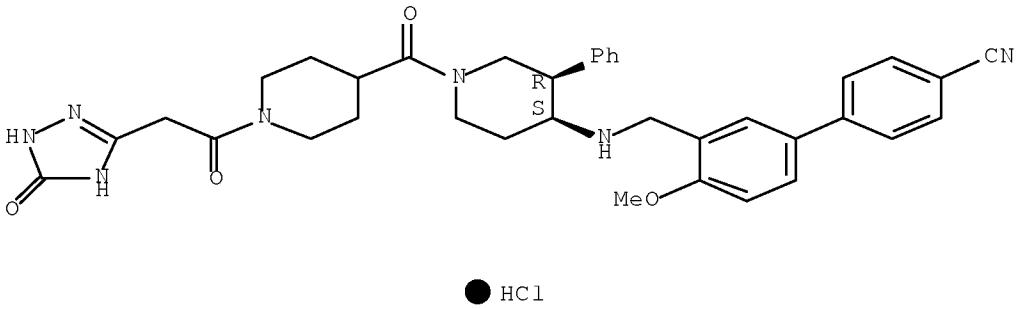
Absolute stereochemistry.



RN 923280-84-6 HCAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 3'-[[[(3R,4S)-1-[[1-[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-4-piperidinyl]carbonyl]-3-phenyl-4-piperidinyl]amino]methyl]-4'-methoxy-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L36 ANSWER 6 OF 17 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1155411 HCAPLUS Full-text
DOCUMENT NUMBER: 145:471540
TITLE: Preparation of piperidine derivatives as tachykinin receptor antagonists
INVENTOR(S): Nagaoka, Naomi; Marunaka, Shigeyuki; Fukuta, Makoto
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 323pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006115285	A1	20061102	WO 2006-JP308919	20060421

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2005-124335 A 20050421
OTHER SOURCE(S): MARPAT 145:471540

AB The title compds. (no biol. data) are prepared This document discloses a pharmaceutical composition comprising N-(2-[(3R,4S)-4-((2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzyl)amino)-3-phenylpiperidin-1-yl]-2-oxoethyl)acetamide (I), a salt or a prodrug thereof, a sugar and a hydrophilic water-insol. substance. Thus, N-(2-[(3R,4S)-4-((2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1- yl]benzyl)amino)-3-phenylpiperidin-1-yl]-2-oxoethyl)acetamide was prepared in 3 steps from (3R,4S)-4-amino-3-phenylpiperidine-1-carboxylic acid tert-Bu ester and 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1- yl]benzaldehyde. Formulations containing I are given. Tablets containing I showed high elution stability.

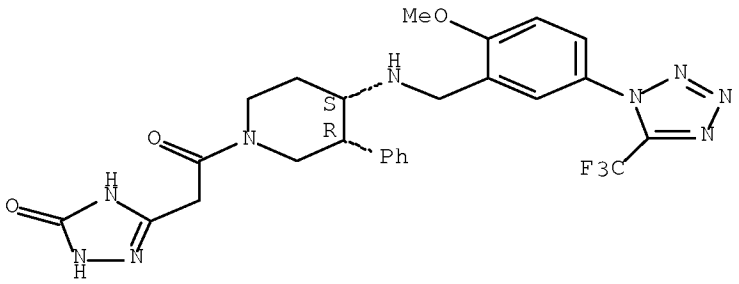
ED Entered STN: 03 Nov 2006
IT 632352-46-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine derivs. as tachykinin receptor antagonists)

RN 632352-46-6 HCAPLUS

CN 3H-1,2,4-Triazol-3-one, 1,2-dihydro-5-[2-[(3R,4S)-4-[[[2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]phenyl]methyl]amino]-3-phenyl-1-piperidinyl]-2-oxoethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

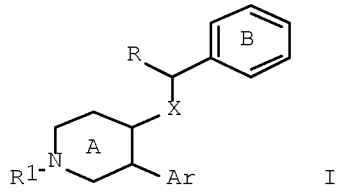


REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

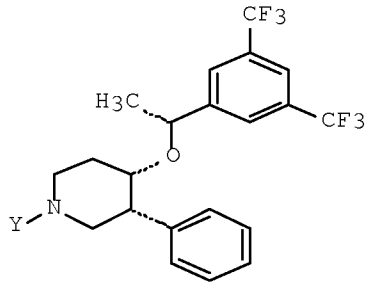
L36 ANSWER 7 OF 17 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:272922 HCAPLUS Full-text
DOCUMENT NUMBER: 144:331270
TITLE: Preparation of piperidine derivatives as tachykinin receptor antagonists
INVENTOR(S): Ikeura, Yoshinori; Hashimoto, Tadatoshi; Nishida, Haruyuki; Shirai, Junya; Sakauchi, Nobuki
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006030975	A1	20060323	WO 2005-JP17538	20050916
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1790636	A1	20070530	EP 2005-785870	20050916
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

US 20060142337 A1 20060629 US 2006-358070 20060222
PRIORITY APPLN. INFO.: JP 2004-272639 A 20040917
WO 2005-JP17538 W 20050916
OTHER SOURCE(S): MARPAT 144:331270
GI



I



II

AB Title compds. I [Ar = (un)substituted aryl; R = alkyl; R1 = H, (un)substituted hydrocarbon, acyl, etc.; X = O, (un)substituted imino; ring A = piperidine ring which may have an addnl. substituent; ring B = substituted benzene] were prepared For example, compound II [Y = H]·HCl was prepared from (3R,4S)-4-hydroxy-3-phenylpiperidine-1- carboxylic acid tert-Bu ester in a multistep process. In radioligand receptor binding inhibition assays, compound II [Y = (1-acetylpiperidin-4-yl)carbonyl] exhibited the IC50 value of 0.026 nM. Compds. I are claimed useful for the treatment of irritable bowel disease, depression, etc.

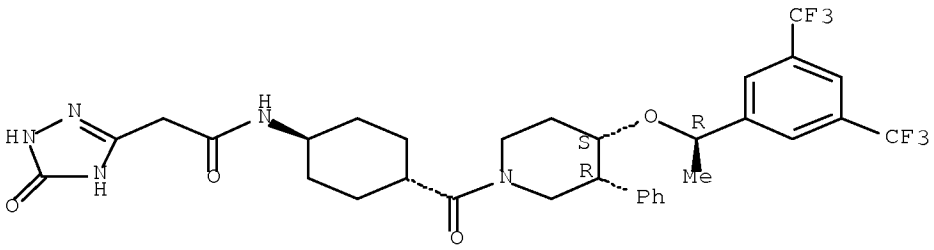
ED Entered STN: 24 Mar 2006

IT 880092-22-8P 880092-48-8P 880092-89-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine derivs. as tachykinin receptor antagonists for treatment of irritable bowel disease, depression, etc.)

RN 880092-22-8 HCAPLUS

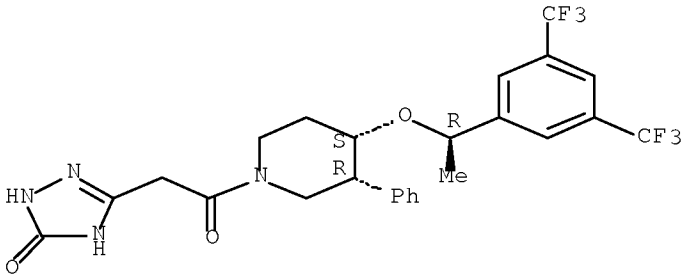
CN 1H-1,2,4-Triazole-3-acetamide, N-[trans-4-[[(3R,4S)-4-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethoxy]-3-phenyl-1-piperidinyl]carbonyl]cyclohexyl]-2,5-dihydro-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



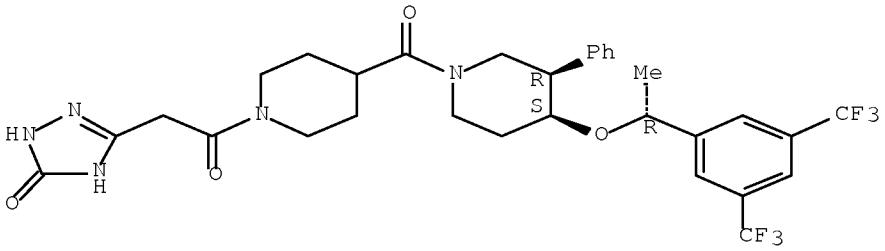
RN 880092-48-8 HCAPLUS
CN 3H-1,2,4-Triazol-3-one, 5-[2-[(3R,4S)-4-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethoxy]-3-phenyl-1-piperidinyl]-2-oxoethyl]-1,2-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



RN 880092-89-7 HCAPLUS
CN 3H-1,2,4-Triazol-3-one, 5-[2-[4-[[(3R,4S)-4-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethoxy]-3-phenyl-1-piperidinyl]carbonyl]-1-piperidinyl]-2-oxoethyl]-1,2-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



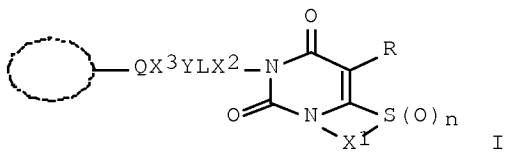
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:472319 HCAPLUS Full-text

DOCUMENT NUMBER: 141:47322
TITLE: Sulfur heterocycle-condensed pyrimidinedione derivatives, prodrugs of them, JNK inhibitors containing them, and pharmaceuticals containing them
INVENTOR(S): Ito, Fumio; Kimura, Hiroyuki; Ikata, Hideki; Kitamura, Shuji; Kawamoto, Tomohiro; Abe, Hidenori
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 117 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004161716	A	20040610	JP 2002-332027	20021115
PRIORITY APPLN. INFO.:			JP 2002-332027	20021115

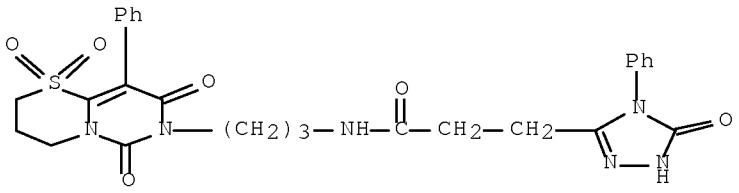
OTHER SOURCE(S): MARPAT 141:47322
GI



I

AB The derivs., useful for prevention and treatment of diseases involving JNK, e.g. cardiac failure, hypertension, rheumatoid arthritis, asthma, Alzheimer's disease, ischemia, etc., are represented by I [R = H, (un)substituted hydrocarbyl, (un)substituted heterocyclyl; X1, X2 = (un)substituted C2-4 alkylene; X3 = direct bond, (un)substituted C1-5 alkylene, (un)substituted C2-4 alkenylene; Y = direct bond, (un)substituted divalent cyclic group; Q = direct bond, O, S, NR1 [R1 = H, (un)substituted lower alkyl]; L = direct bond, CONR2 [R2 = H, (un)substituted lower alkyl]; ring A = (un)substituted N-heterocycle; n = 0, 1, 2]. JNK inhibitors contain I, their salts, or prodrugs of I. Thus, IC50 of 4-(6-aminopyridin-3-yl)-N-[3-(1,1,6,8-tetraoxo-9-phenyl-1,3,4,8- tetrahydro-2H-1λ6-pyrimido[6,1-b][1,3]thiazin-7-yl)propyl]benzamide hydrochloride (II preparation given) against human JNK1 was 0.00082 μM. Capsules and tablets containing II were also formulated.

ED Entered STN: 11 Jun 2004
IT 701215-97-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfur heterocycle-condensed pyrimidinedione derivs. as JNK inhibitors)
RN 701215-97-6 HCAPLUS
CN 1H-1,2,4-Triazole-3-propanamide, N-[3-(3,4-dihydro-1,1-dioxido-6,8-dioxo-9-phenyl-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]-4,5-dihydro-5-oxo-4-phenyl- (CA INDEX NAME)

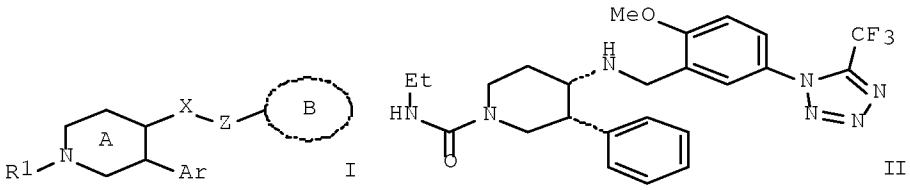


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L36 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:972057 HCAPLUS Full-text
DOCUMENT NUMBER: 140:27765
TITLE: Preparation of piperidine derivatives as tachykinin receptor antagonists for treatment of frequent urination and urinary incontinence
INVENTOR(S): Ikeura, Yoshinori; Hashimoto, Tadatoshi; Tarui, Naoki; Shirai, Junya; Yamashita, Masayuki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 264 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101964	A1	20031211	WO 2003-JP6754	20030529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487688	A1	20031211	CA 2003-2487688	20030529
AU 2003241903	A1	20031219	AU 2003-241903	20030529
BR 2003011425	A	20050315	BR 2003-11425	20030529
EP 1553084	A1	20050713	EP 2003-733151	20030529
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1671662	A	20050921	CN 2003-818354	20030529
NZ 537330	A	20070427	NZ 2003-537330	20030529
JP 2004285038	A	20041014	JP 2003-154345	20030530
MX 2004011730	A	20050714	MX 2004-11730	20041125
US 20060167052	A1	20060727	US 2004-516252	20041129
ZA 2004010085	A	20060726	ZA 2004-10085	20041214
IN 2004KN01942	A	20061201	IN 2004-KN1942	20041216
NO 2004005701	A	20050216	NO 2004-5701	20041229
PRIORITY APPLN. INFO.:			JP 2002-159338 A	20020531
			JP 2003-17885 A	20030127
			WO 2003-JP6754 W	20030529

OTHER SOURCE(S): MARPAT 140:27765
GI



AB The title compds. I [wherein Ar = (un)substituted aryl, aralkyl, or heteroaryl; R1 = H, acyl, (un)substituted hydrocarbyl, or heterocyclyl; X = O or (un)substituted NH; Z = (un)substituted CH2; ring A = (un)substituted piperidine; ring B = (un)substituted aryl; with exclusions] or prodrugs or salts thereof are prepared I have excellent tachykinin receptor antagonistic activity, and are useful for the treatment of frequent urination and urinary incontinence (no data). For example, the compound II•xHCl was prepared in a multi-step synthesis. II showed antagonistic activity with IC50 of 0.025 nM against human substance P receptor. Formulations containing I as an active ingredient were also described.

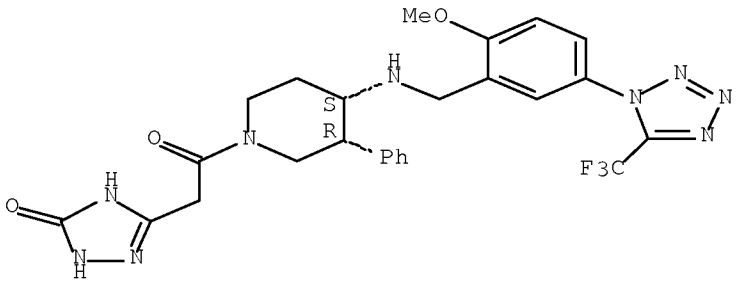
ED Entered STN: 14 Dec 2003

IT 632352-46-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperidine derivs. as tachykinin receptor antagonists for treatment of frequent urination and urinary incontinence)

RN 632352-46-6 HCAPLUS

CN 3H-1,2,4-Triazol-3-one, 1,2-dihydro-5-[2-[(3R,4S)-4-[[[2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]phenyl]methyl]amino]-3-phenyl-1-piperidinyl]-2-oxoethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

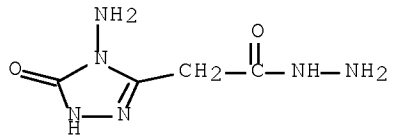


OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (31 CITINGS)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2009 ACS on STN

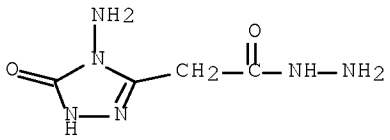
ACCESSION NUMBER: 1997:281511 HCAPLUS Full-text
DOCUMENT NUMBER: 127:17627
ORIGINAL REFERENCE NO.: 127:3561a,3564a
TITLE: Synthesis and antibacterial activities of some 4,5-dihydro-1H-1,2,4-triazol-5-ones
AUTHOR(S): Yuksek, Haydar; Demirbas, Ahmet; Ikizler, Aysun; Johansson, Candan Bozok; Celik, Cennet; Ikizler, Aykut A.
CORPORATE SOURCE: Department Chemistry, Karadeniz Technical University, Trabzon, 61080, Turk.
SOURCE: Arzneimittel-Forschung (1997), 47(4), 405-409
CODEN: ARZNAD; ISSN: 0004-4172
PUBLISHER: Cantor
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of 3,4-disubstituted 1-methyl- and 1-ethyl-4,5-dihydro-1H-1,2,4-triazol-5-ones was prepared by reaction of the appropriate dihydrotriazolones with Me2SO4 or Et2SO4. Some of these new and some recently reported 4,5-dihydro-1H-1,2,4-triazol-5-ones exhibited antibacterial and tuberculostatic activities.
ED Entered STN: 02 May 1997
IT 75989-59-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antibacterial activity)
RN 75989-59-2 HCAPLUS
CN 1H-1,2,4-Triazole-3-acetic acid, 4-amino-4,5-dihydro-5-oxo-, hydrazide (CA INDEX NAME)



OS.CITING REF COUNT: 64 THERE ARE 64 CAPLUS RECORDS THAT CITE THIS RECORD (64 CITINGS)

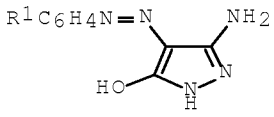
L36 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1992:173458 HCAPLUS Full-text
DOCUMENT NUMBER: 116:173458
ORIGINAL REFERENCE NO.: 116:29339a,29342a
TITLE: pK'a values of some 1,2,4-triazole derivatives in nonaqueous media
AUTHOR(S): Ikizler, A. Aykut; Senturk, H. Basri; Ikizler, Aysun
CORPORATE SOURCE: Dep. Chem., Karadeniz Tech. Univ., Trabzon, Turk.
SOURCE: Doga: Turk Kimya Dergisi (1991), 15(4), 345-54
CODEN: DKSEE7; ISSN: 1010-7614
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The pK'a values of some 1,2,4-triazole derivs. were determined potentiometrically by using 2-propanol solvent and tetrabutylammonium hydroxide (TBAH) in 2-propanol as titrant.
ED Entered STN: 03 May 1992
IT 75989-59-2
RL: PRP (Properties)

(acidity of, in isopropanol)
RN 75989-59-2 HCAPLUS
CN 1H-1,2,4-Triazole-3-acetic acid, 4-amino-4,5-dihydro-5-oxo-, hydrazide (CA INDEX NAME)

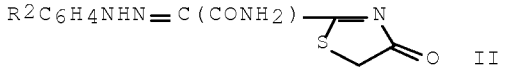


OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

L36 ANSWER 12 OF 17 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1988:492870 HCAPLUS Full-text
DOCUMENT NUMBER: 109:92870
ORIGINAL REFERENCE NO.: 109:15497a,15500a
TITLE: Synthesis of azoles and fused azoles from α-arylhydrazononitriles
AUTHOR(S): Ibrahim, Mohamed Kamal Ahmed; El-Moghayar, Mohamed Riffat Hamza
CORPORATE SOURCE: Fac. Sci., Cairo Univ., Giza, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1987), 26B(9), 832-5
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:92870
GI

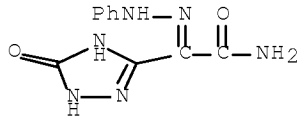


I



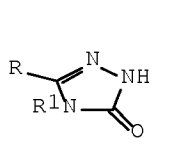
II

AB Cyanoacetamides R1C6H4NHN:C(CONH2)CN (R1 = H, Me, Cl) were heated with N2H4 to give pyrazoles I. Also prepared, from cyanoacetamides and HSCH2CO2H, were thiazolinones II (R2 = Cl, CO2H).
ED Entered STN: 17 Sep 1988
IT 115998-45-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 115998-45-3 HCAPLUS
CN 1H-1,2,4-Triazole-3-acetamide, 2,5-dihydro-5-oxo-α-(2-phenylhydrazinylidene)- (CA INDEX NAME)

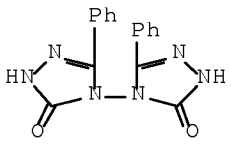


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L36 ANSWER 13 OF 17 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1981:15645 HCAPLUS Full-text
DOCUMENT NUMBER: 94:15645
ORIGINAL REFERENCE NO.: 94:2619a,2622a
TITLE: Reactions of ester ethoxycarbonylhydrazones with some amine type compounds
AUTHOR(S): Ikizler, Aykut; Un, Resat
CORPORATE SOURCE: Fac. Chem., Ege Univ., Izmir, Turk.
SOURCE: Chimica Acta Turcica (1979), 7(3), 269-90
CODEN: CATUA9; ISSN: 0379-5896
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 94:15645
GI

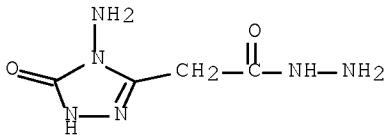


II



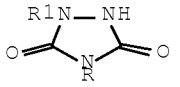
III

AB EtOCR:NNHCO2Et (I, R = Ph, Me, Et, Pr, Me2CHCH2CH2, PhCH2, p-MeC6H4, CH2CO2Et) were cyclized with H2NNH2.H2O to give the triazolinones II (R1 = NH2), which were condensed with PhCHO to give II (R1 = N:CHPh). I and PhNHNH2 similarly gave II (R1 = PhNH). II (R1 = NH2) were converted to several derivs. e.g. II (R1 = NHBz) and III. I (R = Me, Ph) reacted with HOCH2CH2NH2 to give II (R1 = CH2CH2OH).
ED Entered STN: 12 May 1984
IT 75989-59-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 75989-59-2 HCAPLUS
CN 1H-1,2,4-Triazole-3-acetic acid, 4-amino-4,5-dihydro-5-oxo-, hydrazide (CA INDEX NAME)

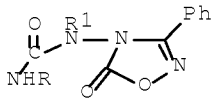


OS.CITING REF COUNT: 39 THERE ARE 39 CAPLUS RECORDS THAT CITE THIS RECORD (43 CITINGS)

L36 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1977:468245 HCAPLUS Full-text
DOCUMENT NUMBER: 87:68245
ORIGINAL REFERENCE NO.: 87:10865a,10868a
TITLE: Structural elucidation of the reaction products from benzonitrile oxide and 1,4-disubstituted urazoles
AUTHOR(S): Hoyer, Georg A.; Boroschewski, Gerhard
CORPORATE SOURCE: Forschungslab., Schering A.-G., Berlin, Fed. Rep. Ger.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1977), 310(3), 255-9
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: German
GI



I



II

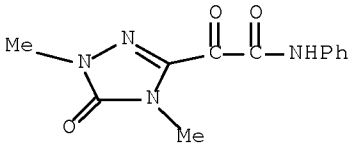
AB The reaction of benzonitrile oxide with urazoles (I; R = R1 = Me; R = Ph, R1 = Me; R = Me, R1 = Ph; R = R1 = Ph) does not yield the corresponding 1,4-disubstituted 3-(phenylcarbamoyloxy)-Δ2-1,2,4-triazolin-5-ones as previously reported (Sunderdiek, R. et al, 1974), but leads to oxadiazolinones (II; R, R1 as above).

ED Entered STN: 12 May 1984

IT 63425-53-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxadiazolinones vs., as reaction products of benzonitrile oxide and urazoles)

RN 63425-53-6 HCAPLUS

CN 1H-1,2,4-Triazole-3-acetamide, 4,5-dihydro-1,4-dimethyl-α,5-dioxo-N-phenyl- (CA INDEX NAME)



L36 ANSWER 15 OF 17 WPIX COPYRIGHT 2009 THOMSON REUTERS on STN
ACCESSION NUMBER: 2005-734179 [75] WPIX
DOC. NO. CPI: C2005-223965 [75]
TITLE: New triazolone derivatives useful for the treatment of obstructive airways disease e.g. asthma or chronic obstructive pulmonary disease
DERWENT CLASS: B02; B03
INVENTOR: ERIKSSON A; LEPISTOE M; LEPISTO M
PATENT ASSIGNEE: (ASTR-C) ASTRAZENECA AB; (ERIK-I) ERIKSSON A; (LEPI-I) LEPISTO M
COUNTRY COUNT: 108

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2005095362	A1	20051013	(200575)*	EN	53[0]	
EP 1732903	A1	20061220	(200702)	EN		
CN 1960979	A	20070509	(200760)	ZH		
US 20070219217	A1	20070920	(200763)	EN		
IN 2006DN05541	P1	20070803	(200771)	EN		
JP 2007530672	W	20071101	(200780)	JA	35	
EP 1732903	B1	20090218	(200914)	EN		
DE 602005012811	E	20090402	(200927)	DE		
ES 2320679	T3	20090527	(200943)	ES		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2005095362	A1	WO 2005-SE448	20050329
IN 2006DN05541	P1	WO 2004-SE448	20050329
CN 1960979	A	CN 2005-80017672	20050329
DE 602005012811	E	DE 2005-602005012811	20050329
EP 1732903	A1	EP 2005-722275	20050329
EP 1732903	B1	EP 2005-722275	20050329
DE 602005012811	E	EP 2005-722275	20050329
EP 1732903	A1	WO 2005-SE448	20050329
US 20070219217	A1	WO 2005-SE448	20050329
JP 2007530672	W	WO 2005-SE448	20050329
EP 1732903	B1 PCT Application	WO 2005-SE448	20050329
DE 602005012811	E PCT Application	WO 2005-SE448	20050329
US 20070219217	A1	US 2006-593543	20060920
IN 2006DN05541	P1	IN 2006-DN5541	20060922
JP 2007530672	W	JP 2007-506108	20050329
ES 2320679	T3	EP 2005-722275	20050329

FILING DETAILS:

PATENT NO	KIND		PATENT NO	
DE 602005012811	E	Based on	EP 1732903	A
EP 1732903	A1	Based on	WO 2005095362	A
JP 2007530672	W	Based on	WO 2005095362	A
EP 1732903	B1	Based on	WO 2005095362	A

DE 602005012811 E Based on WO 2005095362 A
ES 2320679 T3 Based on EP 1732903 A

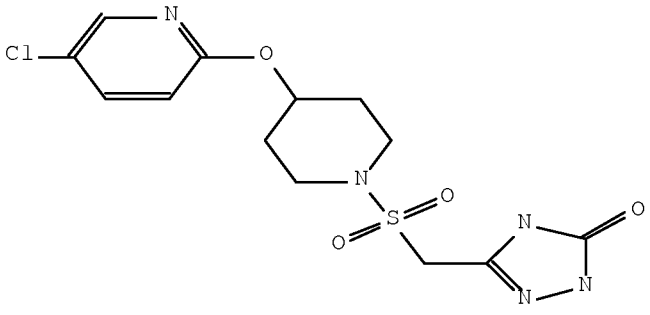
PRIORITY APPLN. INFO: SE 2004-850 20040330
AB WO 2005095362 A1 UPAB: 20090307
NOVELTY - Triazolone derivatives are new.
DETAILED DESCRIPTION - Triazolone derivatives of formula (I) or its salt or solvate are new.
R1,R2 = H or 1-6C alkyl (optionally substituted by an aryl ring or an aromatic heterocyclic ring containing 1-3 heteroatoms selected from O, S or N (optionally substituted by halo, CF3, 1-4C alkyl or 1-4C alkoxy));
R3,R4 = H or 1-6C alkyl (optionally substituted by OH, 1-4C alkoxy, 1-4C alkylthio, amino, N-alkylamino or N,N-dialkylamino);
R3+R4 = 3-7 membered ring (optionally incorporating one heteroatom selected from O, S(O)q or N);
m = 1-3;
X = S(O), S(O)2 or C(=O);
R5 = H or 1-6C alkyl (optionally substituted by halo, OH or 1-6C alkoxy);
Y = direct bond;
NYR5 = 4-7 membered optionally saturated azacyclic ring (optionally incorporating one further heteroatom selected from O, S(O)n or N and optionally benzo fused and optionally substituted by 1-6C alkyl, 1-6C alkoxy or OH);
L = direct bond or 2-6C alkynyl, 2-6C alkenyl, 1-6C (hetero)alkyl, or 3-6C heteroalkynyl (all optionally substituted by halo, OH or 1-6C alkoxy), O, S(O)p, C(O), NR6, C(O)NR6 or NR6C(O);
n,p,q = 0-2;
G1 = 1-4 membered monocyclic, bicyclic, tricyclic or tetracyclic group (where each ring structures is of 7 ring atoms) selected from cycloalkyl, cycloalkenyl, optionally saturated heterocycloalkyl (where all alkyl is optionally substituted by halo, OH, 1-6C alkyl, 1-6C alkoxy, halo-(1-6C) alkoxy, amino, N-alkylamino, N,N-dialkylamino, N-alkylsulfonamino, N-2-6C alkanoylamino, cyano, nitro, thiol, alkylthio, alkylsulfonyl, N-alkylaminosulfonyl, CHO, 2-6C alkanoyl, aminocarbonyl, N-alkylamino-carbonyl or N,N-dialkylaminocarbonyl or carbamate), aryl, or aromatic heterocyclic ring containing 1-3 heteroatoms selected from O, S or N (where each ring is optionally substituted by at least one of halo, OH, CHO, 1-6C alkyl, 1-6C alkoxy, halo-(1-6C) alkoxy, amino, N-alkylamino, N,N-dialkylamino, alkylsulfonamino, 2-6C alkanoylamino, cyano, nitro, thiol, alkylthio, alkylsulfonyl, alkylaminosulfonyl, 2-6C alkanoyl, aminocarbonyl, N-alkylamino-carbonyl or N,N-amino-carbonyl);
R6,R7 = H or 1-6C alkyl.
Provided that:
(1) when G1 is bicyclic, tricyclic or tetracyclic group, then each ring structure is joined to the next ring structure by a direct bond, -O-, 1-6C alkyl, 1-6C haloalkyl, 1-6C heteroalkyl, 2-6C alkenyl, 2-6C alkynyl, sulfone, CO, NR7CO, CONR7, NR7, S or C(OH) and each ring is fused to the next ring structure; and
(2) when -NR5Y- represents an azcyclic ring and L represents a direct bond, the group G1 is spiro fused to the azacyclic ring.
INDEPENDENT CLAIMS are also included for:
(1) the preparation of (I); and
(2) a pharmaceutical composition comporising compounds of (I) and an adjuvant, diluent or carrier.
ACTIVITY - Antiasthmatic; Respiratory-Gen.; Antiarthritic; Antirheumatic; Osteopathic; Antiarteriosclerotic; Vasotropic; Cytostatic; Antiinflammatory; Cardiant; Hepatotropic; Nephrotropic; Virucide; Gynecological; CNS-Gen.; Neuroprotective; Nootropic; hemostatic.

MECHANISM OF ACTION - Metalloproteinase (MMP) inhibitor (e.g. (MMP12) and (MMP9)); TACE and aggrecanase inhibitors. Isolated enzyme assay was carried out as follows: Recombinant human MMP12 catalytic domain was purified. The purified enzyme was used to monitor inhibitor of activity of MMP12 (50 ng/ml) which was incubated for 60 minutes at room temperature with the synthetic substrate Mac-Pro-Cha-Gly-Nva-His-Ala-Dpa-NH2) in Tris-HCl (RTM; assay buffer) (0.1 M), pH 7.3 containing NaCl (0.1 M0, CaCl2 (20 mM), ZnCl (0.020 mM) and Brij 35 (RTM detergent) (0.05 w/v.%) in the presence of 5-(((4-((2-(trifluoromethyl)pyrimidin-5-yl)ethynyl)-3,6-dihydropyridin- 1(2H)-yl)sulfonyl)methyl)-2,4-dihydro-3H-1,2,4-triazol-3-one (test compound). The IC50 value of the test compound was found to be 2.4 nM.

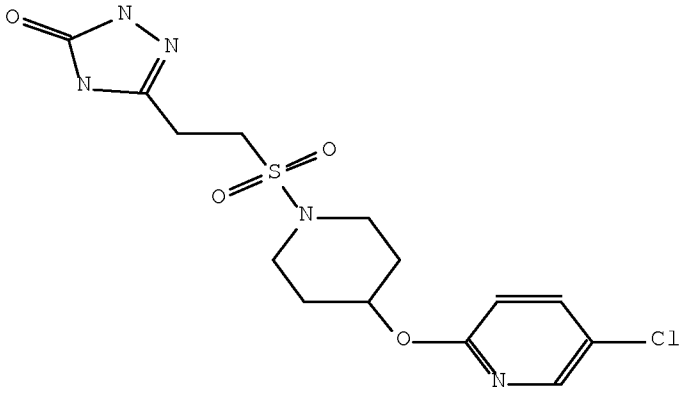
USE - In therapy; in the manufacture of a medicament for the treatment of obstructive airways disease e.g. asthma or chronic obstructive pulmonary disease; for the treatment of disease or condition mediated by metalloproteinases e.g. metalloelastase (MMP12) and gelatinase (MMP9) (all claimed); as metalloproteinase (MMP) inhibitors; as inhibitors of TACE and aggrecanase; as pharmaceuticals. The disease or conditions includes rhinitis, arthritis (such as rheumatoid arthritis and osteoarthritis), atherosclerosis, and restenosis, cancer, invasion and metastasis, diseases involving tissue destruction, loosening of hip joint replacements, periodontal disease, fibrotic disease, infarction and heart disease, liver and renal fibrosis, endometriosis, diseases related to the weakening of the extracellular matrix, heart failure, aortic aneurysms, CNS related diseases such as Alzheimer's disease and multiple sclerosis and hematological disorders, inflammatory diseases.

ADVANTAGE - (I) is inhibitors of metalloproteinases and inhibits MMPs such as MMP12 and MMP9, has beneficial potency, selectivity and pharmacokinetic properties; possess pharmacological activity in animals and thus potentially useful as pharmaceuticals.

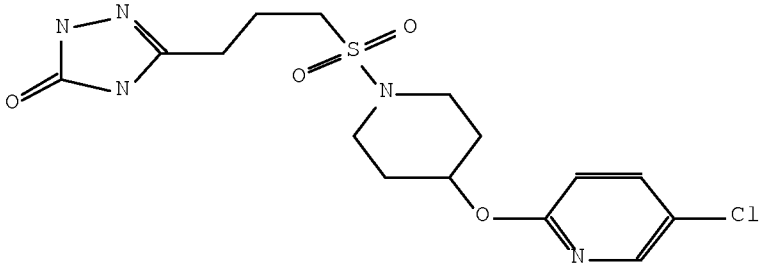
AN.S DCR-1175755
CN.S 5-[4-(5-Chloro-pyridin-2-yloxy)-piperidine-1-sulfonylmethyl]-2,4-dihydro-1,2,4-triazol-3-one5-[4-(5-Chloro-pyridin-2-yloxy)-piperidine-1-sulfonylmethyl]-2,4-dihydro-[1,2,4]triazol-3-one
SDCN RAJXZN



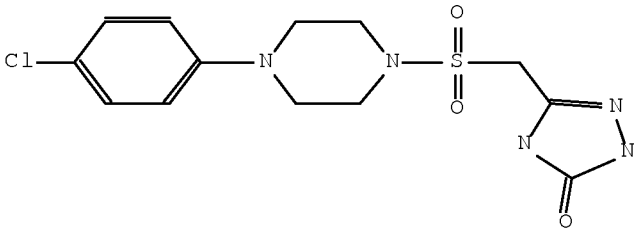
AN.S DCR-1175756
CN.S 5-{2-[4-(5-Chloro-pyridin-2-yloxy)-piperidine-1-sulfonyl]-ethyl}-2,4-dihydro-1,2,4-triazol-3-one5-{2-[4-(5-Chloro-pyridin-2-yloxy)-piperidine-1-sulfonyl]-ethyl}-2,4-dihydro-[1,2,4]triazol-3-one
SDCN RAJXZO



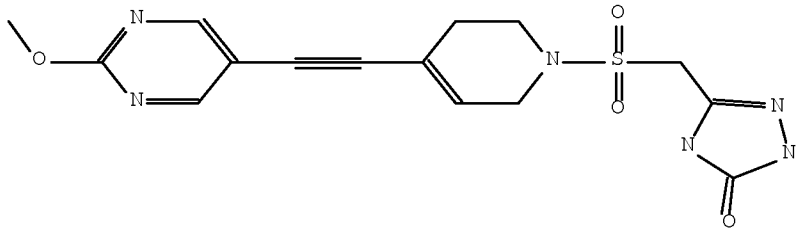
AN.S DCR-1175757
CN.S 5-{3-[4-(5-Chloro-pyridin-2-yloxy)-piperidine-1-sulfonyl]-propyl}-2,4-dihydro-1,2,4-triazol-3-one5-{3-[4-(5-Chloro-pyridin-2-yloxy)-piperidine-1-sulfonyl]-propyl}-2,4-dihydro-[1,2,4]triazol-3-one
SDCN RAJXZP



AN.S DCR-1175758
CN.S 5-[4-(4-Chloro-phenyl)-piperazine-1-sulfonylmethyl]-2,4-dihydro-1,2,4-triazol-3-one5-[4-(4-Chloro-phenyl)-piperazine-1-sulfonylmethyl]-2,4-dihydro-[1,2,4]triazol-3-one
SDCN RAJXZQ



AN.S DCR-1175759
CN.S 5-[4-(2-Methoxy-pyrimidin-5-ylethynyl)-3,6-dihydro-2H-pyridine-1-sulfonylmethyl]-2,4-dihydro-1,2,4-triazol-3-one5-[4-(2-Methoxy-pyrimidin-5-ylethynyl)-3,6-dihydro-2H-pyridine-1-sulfonylmethyl]-2,4-dihydro-[1,2,4]triazol-3-one
SDCN RAJXZR



AN.S DCR-1175760
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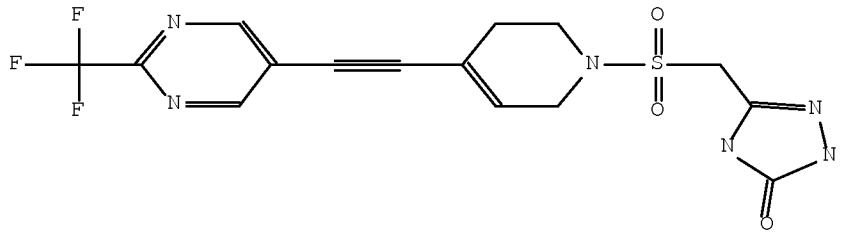
SDCN RAJXZS



AN.S DCR-1175761
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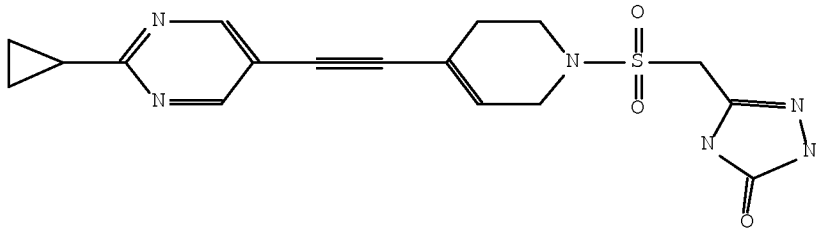
SDCN RAJXZT



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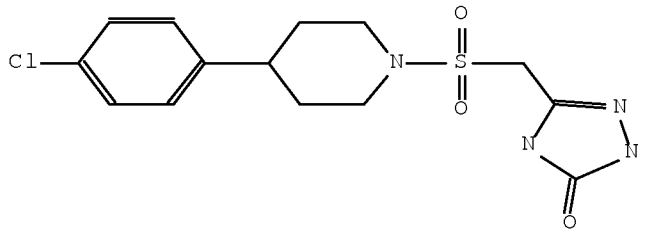
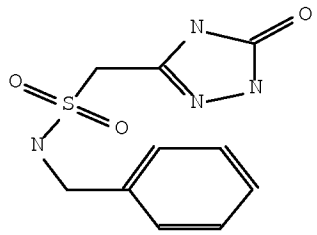
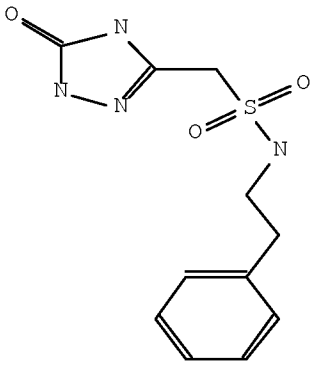


AN.S DCR-1175763
CN.S N-Benzyl-C-(5-oxo-4,5-dihydro-1H-1,2,4-triazol-3-yl)-methanesulfonamideN-Benzyl-C-(5-oxo-4,5-dihydro-1H-[1,2,4]triazol-3-yl)-methanesulfonamide
SDCN RAJXZV



AN.S DCR-1175764
CN.S C-(5-Oxo-4,5-dihydro-1H-1,2,4-triazol-3-yl)-N-phenethyl-methanesulfonamideC-(5-Oxo-4,5-dihydro-1H-[1,2,4]triazol-3-yl)-N-phenethyl-methanesulfonamide
SDCN RAJXZW



AN.S DCR-1175765
CN.S 5-{2-[4-(4-Chloro-phenyl)-piperidine-1-sulfonyl]-ethyl}-2,4-dihydro-1,2,4-triazol-3-one5-{2-[4-(4-Chloro-phenyl)-piperidine-1-sulfonyl]-ethyl}-2,4-dihydro-[1,2,4]triazol-3-one
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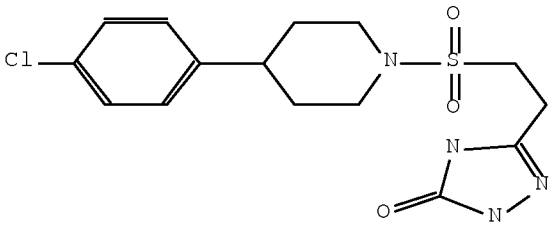
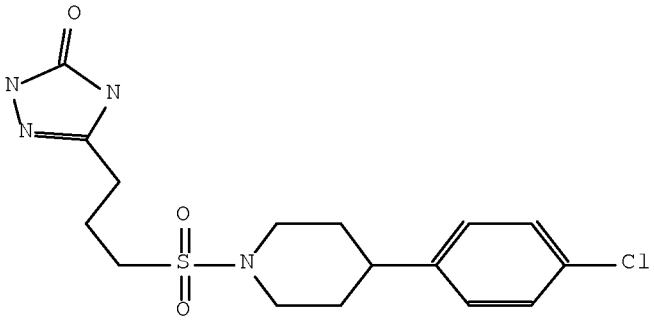
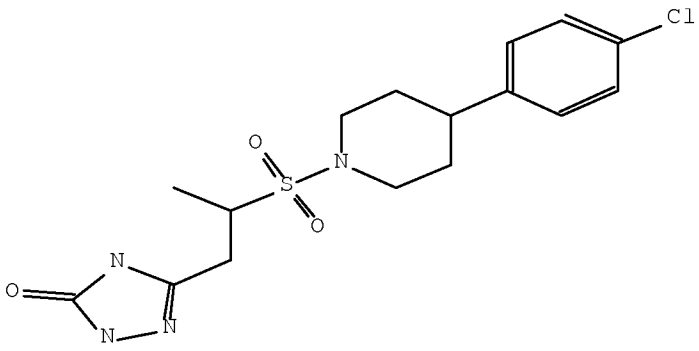


AN.S DCR-1175766
CN.S 5-{3-[4-(4-Chloro-phenyl)-piperidine-1-sulfonyl]-propyl}-2,4-dihydro-1,2,4-triazol-3-one5-{3-[4-(4-Chloro-phenyl)-piperidine-1-sulfonyl]-propyl}-2,4-dihydro-[1,2,4]triazol-3-one
SDCN RAJXZY



AN.S DCR-1175767
CN.S 5-{2-[4-(4-Chloro-phenyl)-piperidine-1-sulfonyl]-propyl}-2,4-dihydro-1,2,4-triazol-3-one5-{2-[4-(4-Chloro-phenyl)-piperidine-1-sulfonyl]-propyl}-2,4-dihydro-[1,2,4]triazol-3-one
SDCN RAJXZZ

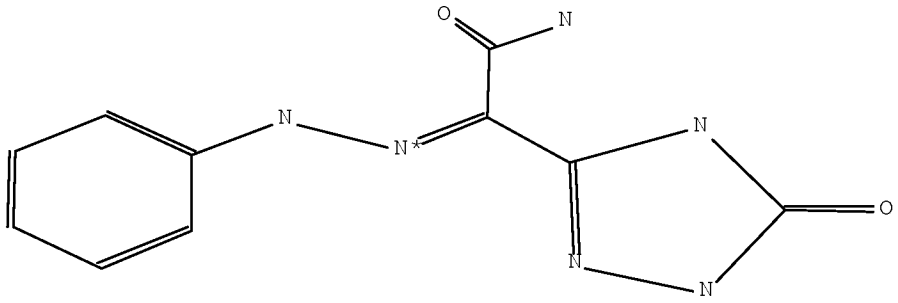


L36 ANSWER 16 OF 17 BABS COPYRIGHT 2009 Elsevier Inf. Sys. on STN
AN 5704055 BABS Full-text
TI Synthesis of Azoles and Fused Azoles from $a-Arylhydrazononitriles
AU Ibrahim, Mohamed Kamal Ahmed; El-Moghayar, Mohamed Riffat Hamza
SO Indian J.Chem.Sect.B (1987), 26(1-12), 832-835
CODEN: IJSBDB
DT Journal
LA English
SL English
AB The reaction of $a-arylhydrazononitriles (I) with phenylhydrazine, hydrazine hydrate, p-phenylenediamine, mercaptoacetic acid, and phenyl isothiocyanate gives amidrazone (IIa-c, III), pyrazolone (IVa-c), benzimidazole (X), 4-thiazolone (XIe,d) and 1,2,4-triazinthione (XII) derivatives respectively.Compound IIa reacts with ethyl chloroformate to give amidrazone V which on base-catalyzed cyclization affords the triazolone VI.However, it

reacts with nitrous acid to give the tetrazole VII.The condensation of IVa with ethyl $a-chloroacetoacetate and IVe with $a-cyanocinnamon itrile furnishes the pyrazolo<1,5-a>imidazole (VIII) and pyrano<3,2-b>pyrazole (IX) derivatives respectively.

L36 ANSWER 17 OF 17 BEILSTEIN COPYRIGHT 2009 Elsevier Inf. Sys. on STN

Beilstein Records (BRN):	5574184
Beilstein Pref. RN (BPR):	115998-45-3
CAS Reg. No. (RN):	115998-45-3
Chemical Name (CN):	5-<phenylhydrazono-(carbamoyl)-methyl>-1,2,4-triazol-3-one
Autonom Name (AUN):	2-(5-oxo-4,5-dihydro-1H-<1,2,4>triazol-3-yl)-2-(phenyl-hydrazono)-acetamide
Molec. Formula (MF):	C10 H10 N6 O2
Molecular Weight (MW):	246.23
Lawson Number (LN):	30257, 16435
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	4886893
Beilstein Citation (BSO):	6-26
Entry Date (DED):	1993/02/12
Update Date (DUPD):	1994/02/18



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
BPR	Beilstein Preferred RN	1
RN	CAS Registry Number	1
CN	Chemical Name	1

AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
CTYPE	Compound Type	1
CONSID	Constitution ID	1
BSO	Beilstein Citation	1
DED	Entry Date	1
DUPD	Update Date	1
IR	Infrared Spectrum	1
MP	Melting Point	1
NMR	Nuclear Magnetic Resonance	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

All References:
ALLREF

1. Ibrahim, Mohamed Kamal Ahmed; El-Moghayar, Mohamed Riffat Hamza, Indian J.Chem.Sect.B, CODEN: IJSBDB, 26(1-12), <1987>, 832-835; BABS-5704055

Search History

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L1              STRUCTURE UPLOADED
L2            0 SEA SSS SAM L1

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     FILE 'REGISTRY' ENTERED AT 13:40:58 ON 02 OCT 2009
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                -6/BI OR 9004-06-2/BI)
L5           28 SEA SPE=ON  ABB=ON  PLU=ON  L4 AND NR>=2
L6           39 SEA SSS FUL L1
L7           15 SEA SPE=ON  ABB=ON  PLU=ON  L6 AND L4

     FILE 'HCAPLUS' ENTERED AT 13:53:48 ON 02 OCT 2009
L8           15 SEA SPE=ON  ABB=ON  PLU=ON  L6
L9          535 SEA SPE=ON  ABB=ON  PLU=ON  ERIKSSON A?/AU
L10          10 SEA SPE=ON  ABB=ON  PLU=ON  LEPISTO M?/AU
L11           1 SEA SPE=ON  ABB=ON  PLU=ON  (L10 OR L9) AND L8

     FILE 'WPIX' ENTERED AT 14:05:29 ON 02 OCT 2009
L12           1 SEA SSS SAM L1
L13          13 SEA SSS FUL L1
L14           1 SEA SPE=ON  ABB=ON  PLU=ON  L13/DCR
L15           1 SEA SPE=ON  ABB=ON  PLU=ON  (L10 OR L9) AND L14

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L17           1 SEA SPE=ON  ABB=ON  PLU=ON  L6
L18           1 SEA SPE=ON  ABB=ON  PLU=ON  L17 AND BABSAN/FA
                SEL BABSAN

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L19           1 SEA SPE=ON  ABB=ON  PLU=ON  5704055/BABSAN


     FILE 'MARPAT' ENTERED AT 14:08:06 ON 02 OCT 2009
L20           4 SEA SSS SAM L1
L21         132 SEA SSS FUL L1

     FILE 'REGISTRY' ENTERED AT 14:11:53 ON 02 OCT 2009
L22             STRUCTURE UPLOADED
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L23             STRUCTURE UPLOADED
L24           0 SEA SSS SAM L23
L25           0 SEA SSS FUL L23

     FILE 'MARPAT' ENTERED AT 14:19:06 ON 02 OCT 2009
L26             STRUCTURE UPLOADED
L27           6 SEA SSS SAM L26
L28          93 SEA SSS FUL L26
L29             STRUCTURE UPLOADED
L30           6 SEA SUB=L28 SSS SAM L29
L31          87 SEA SUB=L28 SSS FUL L29

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     FILE 'HCAPLUS, WPIX, BABS, BEILSTEIN' ENTERED AT 15:07:19 ON 02 OCT 2009
L36          17 DUP REM L34 L14 L19 L17 (0 DUPLICATES REMOVED)
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